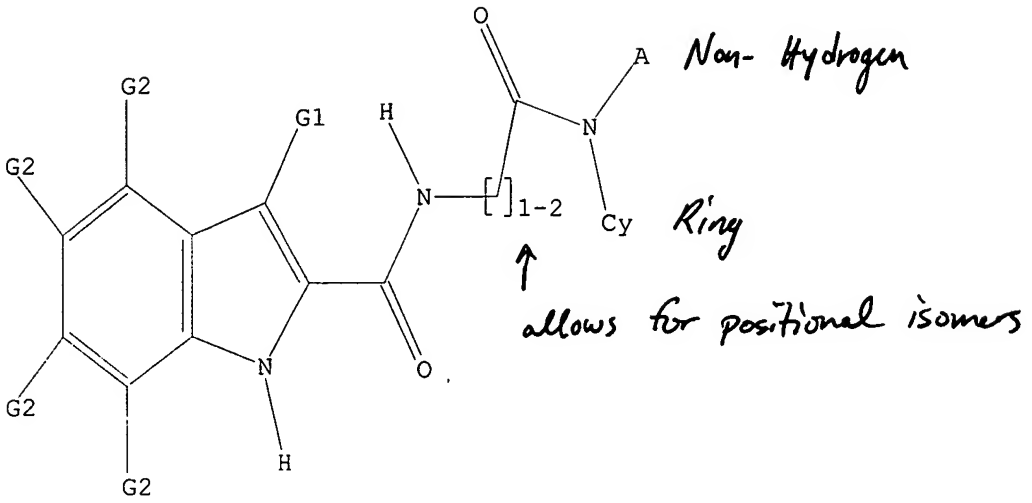
L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR




G1 H,Me ✓
G2 H,X ✓

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
FULL SEARCH INITIATED 12:23:43 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 95559 TO ITERATE

100.0% PROCESSED 95559 ITERATIONS 141 ANSWERS
SEARCH TIME: 00.00.07

L2 141 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS

| | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 167.82 | 168.03 |

FILE 'CAPLUS' ENTERED AT 12:24:04 ON 10 MAY 2006
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FILE COVERS 1907 - 10 May 2006 VOL 144 ISS 20
FILE LAST UPDATED: 9 May 2006 (20060509/ED)

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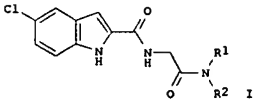
=> s l2
L3 15 L2

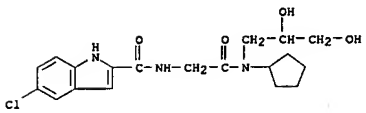
=> d ibib abs hitstr 1-15

L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:1124988 CAPLUS
DOCUMENT NUMBER: 142:197810
TITLE: 5-Chloroindoloyl glycine amide inhibitors of glycogen phosphorylase: synthesis, in vitro, in vivo, and X-ray crystallographic characterization
AUTHOR(S): Wright, Stephen W.; Rath, Virginia L.; Genereux, Paul E.; Hageman, David L.; Levy, Carolyn B.; McClure, Lester D.; McCoid, Scott C.; McPherson, R. Kirk; Schelhorn, Teresa M.; Wilder, Donald E.; Zavadoski, William J.; Gibbs, E. Michael; Treadway, Judith L.
CORPORATE SOURCE: Pfizer Global Research and Development, Groton, CT, 06340, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(2), 459-465
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:197810
GI

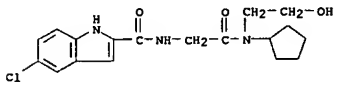


AB The synthesis and in vitro and in vivo biol. characterization of a series of achiral 5-chloroindoloyl glycine amides I [R1 = Me, cyclopentyl, HOCH2CH2; R2 = Me2CHCH2, Ph, cycloheptyl, H2N(CH2)3, etc.] as inhibitors of human liver glycogen phosphorylase A are described. Improved potency over previously reported compds. in cellular and in vivo assays was observed
The allosteric binding site of these compds. was shown by X-ray crystallog. to be the same as that reported previously for 5-chloroindoloyl norstatine amides.
IT 839701-52-9D, complex with glycogen phosphorylase A
RL: PRP (Properties)
(crystal structure; preparation of N-carbamoylmethyl indolecarboxamides as
human liver glycogen phosphorylase inhibitors)
RN 839701-52-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(2-hydroxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

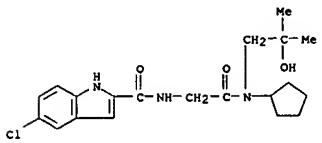
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



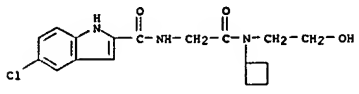
RN 839701-52-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(2-hydroxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839701-63-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(2-hydroxy-2-methylpropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

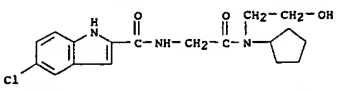


RN 839702-33-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclobutyl(2-hydroxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

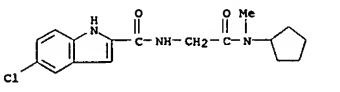


RN 839702-45-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(2-hydroxyethyl)(tetrahydro-2H-pyran-4-yl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

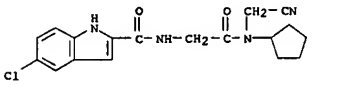
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 839700-98-0P 839701-46-1P 839701-50-7P
839701-52-9P 839701-63-2P 839702-33-9P
839702-45-3P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic
preparation); BIOL (Biological study); PREP (Preparation)
(preparation of N-carbamoylmethyl indolecarboxamides as human liver glycogen
phosphorylase inhibitors)
RN 839700-98-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentylmethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

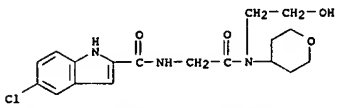


RN 839701-46-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(cyanomethyl)cyclopentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

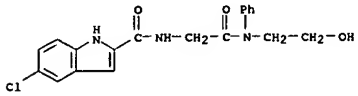


RN 839701-50-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(2,3-dihydroxypropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

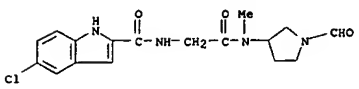


IT 599177-73-8P 839700-96-8P 839701-02-9P
839701-04-1P 839701-06-3P 839701-10-9P
839701-12-1P 839701-14-3P 839701-16-5P
839701-20-1P 839701-24-5P 839701-36-9P
839701-38-1P 839701-40-5P 839701-44-9P
839701-48-3P 839701-54-1P 839701-56-3P
839701-58-5P 839701-59-6P 839701-61-0P
839701-65-4P 839701-67-6P 839701-69-8P
839701-71-2P 839701-73-4P 839701-75-6P
839701-76-7P 839701-78-9P 839701-80-3P
839701-82-5P 839701-84-7P 839701-88-1P
839701-90-5P 839701-92-7P 839701-94-9P
839701-96-1P 839701-98-3P 839702-00-0P
839702-02-2P 839702-04-4P 839702-06-6P
839702-08-8P 839702-10-2P 839702-12-4P
839702-14-6P 839702-16-8P 839702-18-0P
839702-20-4P 839702-22-6P 839702-24-8P
839702-26-0P 839702-28-2P 839702-41-9P
839702-53-3P 839702-61-3P 839702-65-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of N-carbamoylmethyl indolecarboxamides as human liver glycogen
phosphorylase inhibitors)
RN 599177-73-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(2-hydroxyethyl)phenylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

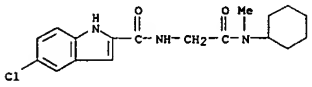


RN 839700-96-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(1-formyl-3-pyrrolidinyl)methylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

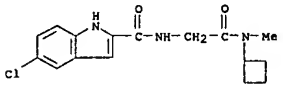
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



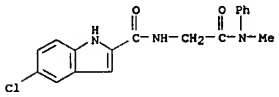
RN 839701-02-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclohexylmethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839701-04-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclobutylmethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

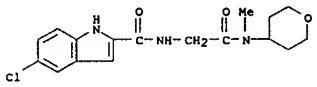


RN 839701-06-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(methylphenylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

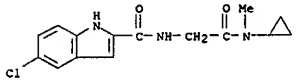


RN 839701-10-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cycloheptylmethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

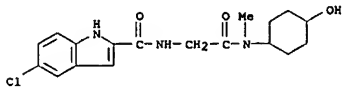
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



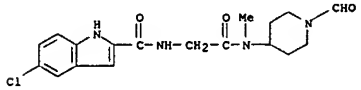
RN 839701-24-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopropylmethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839701-36-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(4-hydroxycyclohexyl)methylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

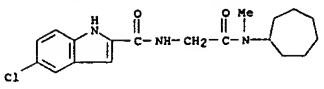


RN 839701-38-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(1-formyl-4-piperidinyl)methylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

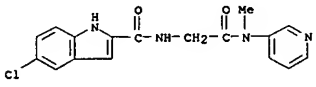


RN 839701-40-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[methyl(1-methyl-3-pyrrolidinyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

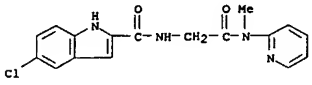
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



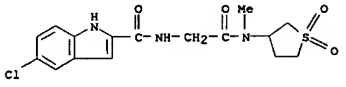
RN 839701-12-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(methyl-3-pyridinylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839701-14-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(methyl-2-pyridinylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

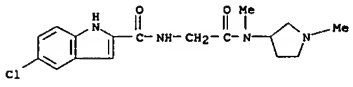


RN 839701-16-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[methyl(tetrahydro-1,1-dioxido-3-thienyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

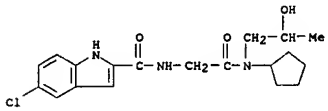


RN 839701-20-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[methyl(tetrahydro-2H-pyran-4-yl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

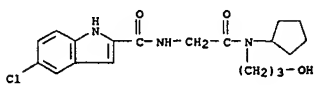
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



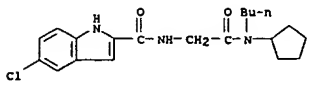
RN 839701-44-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentyl(2-hydroxypropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839701-48-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentyl(3-hydroxypropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

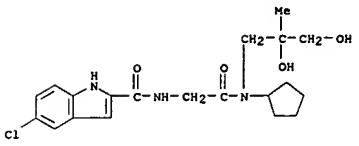


RN 839701-54-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-(butylcyclopentylamino)-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

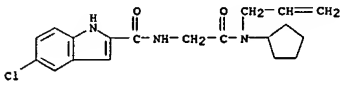


RN 839701-56-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(2,3-dihydroxy-2-methylpropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

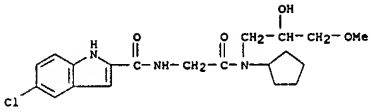
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



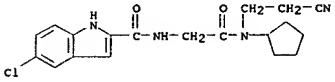
RN 839701-58-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentyl-2-propenylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839701-59-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(2-hydroxy-3-methoxypropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

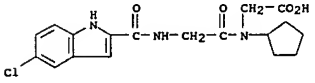


RN 839701-61-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(2-cyanoethyl)cyclopentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

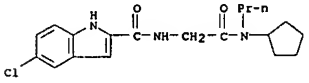


L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

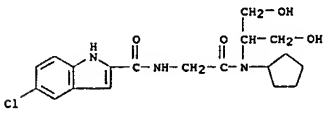
RN 839701-73-4 CAPLUS
CN Glycine, 5-chloro-1H-indole-2-carbonylglycyl-N-cyclopentyl- (9CI) (CA INDEX NAME)



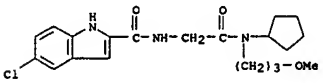
RN 839701-75-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentylpropylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839701-76-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(2-hydroxy-1-(hydroxymethyl)ethyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



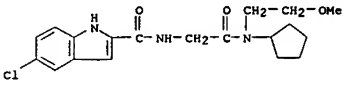
RN 839701-78-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(3-methoxypropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



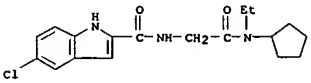
RN 839701-80-3 CAPLUS
CN Glycinamide, 5-chloro-1H-indole-2-carbonylglycyl-N2-cyclopentyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

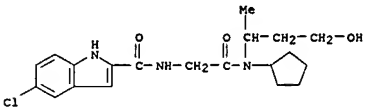
RN 839701-65-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentyl(2-methoxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



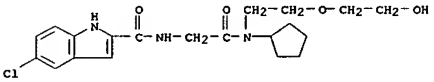
RN 839701-67-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-(cyclopentylethylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)



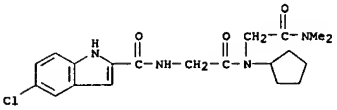
RN 839701-69-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(3-hydroxy-1-methylpropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



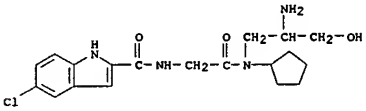
RN 839701-71-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl[2-(2-hydroxyethoxy)ethyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



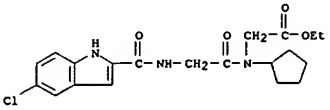
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



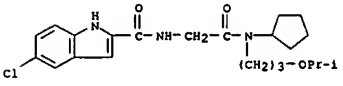
RN 839701-82-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2-amino-3-hydroxypropyl)cyclopentylamino]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)



RN 839701-84-7 CAPLUS
CN Glycine, 5-chloro-1H-indole-2-carbonylglycyl-N-cyclopentyl-, ethyl ester (9CI) (CA INDEX NAME)

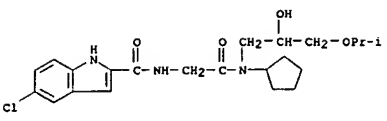


RN 839701-88-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl[3-(1-methylethoxy)propyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

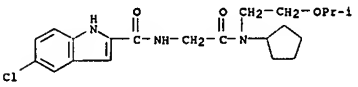


RN 839701-90-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl[2-hydroxy-3-(1-methylethoxy)propyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

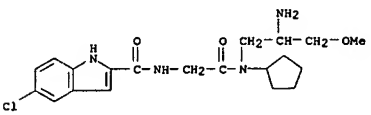
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



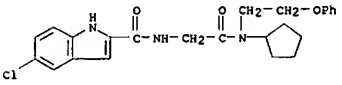
RN 839701-92-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl[2-(1-methylethoxy)ethyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839701-94-9 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2-amino-3-methoxypropyl)cyclopentylamino]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

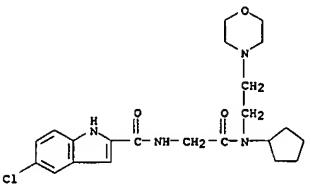


RN 839701-96-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(2-phenoxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

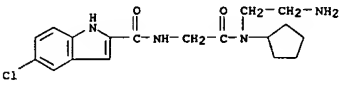


L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

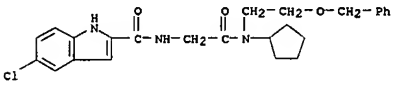
RN 839701-98-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl[2-(4-morpholinyl)ethyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839702-00-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2-aminoethyl)cyclopentylamino]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

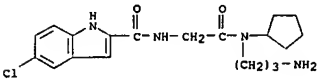


RN 839702-02-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl[2-(phenylmethoxy)ethyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

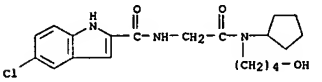


RN 839702-04-4 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(3-aminopropyl)cyclopentylamino]-2-oxoethyl]-5-chloro- (9CI) (CA INDEX NAME)

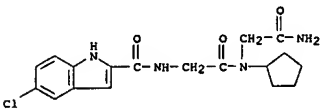
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



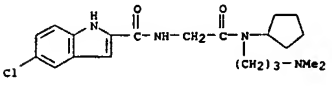
RN 839702-06-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl(4-hydroxybutyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839702-08-8 CAPLUS
CN Glycinamide, 5-chloro-1H-indole-2-carbonylglycyl-N2-cyclopentyl- (9CI) (CA INDEX NAME)

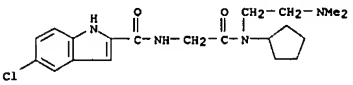


RN 839702-10-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl[3-(dimethylamino)propyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

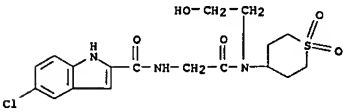


RN 839702-12-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopentyl[2-(dimethylamino)ethyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

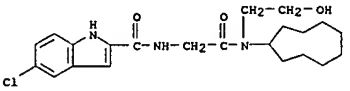
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 839702-14-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(2-hydroxyethyl)(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839702-16-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclononyl(2-hydroxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839702-18-0 CAPLUS
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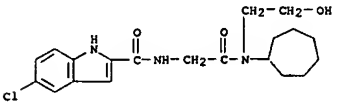
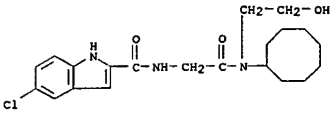
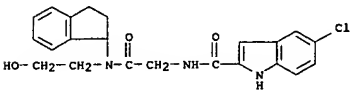


RN 839702-20-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclooctyl(2-hydroxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

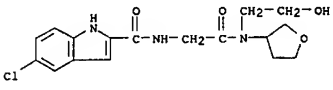
L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 839702-22-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(2,3-dihydro-1H-inden-1-yl)(2-hydroxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839702-24-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(2-hydroxyethyl)(tetrahydro-3-furanyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



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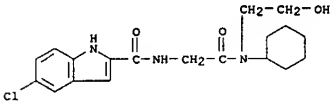
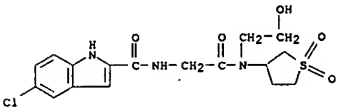


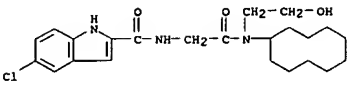
RN 839702-28-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclodecyl(2-hydroxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
dioxido-3-thienyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

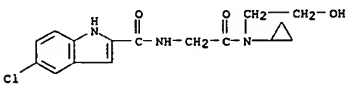


REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 839702-41-9 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[cyclopropyl(2-hydroxyethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 839702-53-3 CAPLUS
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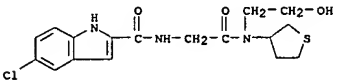

RN 839702-61-3 CAPLUS
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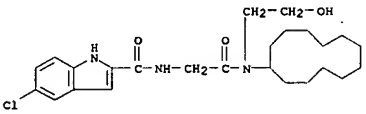

RN 839702-65-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(2-hydroxyethyl)(tetrahydro-1,1-

L3 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:719448 CAPLUS
DOCUMENT NUMBER: 139:245896
TITLE: Preparation of N-carbamoylmethylindolecarboxamides as glycogen phosphorylase inhibitors
INVENTOR(S): Morley, Andrew David
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

Instant App.

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003074485 | A2 | 20030912 | WO 2003-GB936 | 20030304 |
| WO 2003074485 | A3 | 20031204 | | |
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| EP 1483239 | A2 | 20041208 | EP 2003-709934 | 20030304 |
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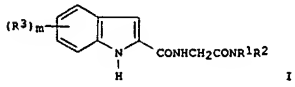
| US 2005159472 | A1 | 20050721 | US 2003-506592 | 20030304 |
| JP 2005526054 | T2 | 20050902 | JP 2003-572955 | 20030304 |
| PRIORITY APPLN. INFO.: | | | GB 2002-5166 A | 20020306 |
| | | | WO 2003-GB936 W | 20030304 |

OTHER SOURCE(S): MARPAT 139:245896
GI



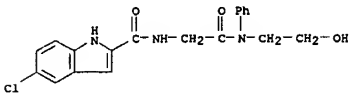
I

AB Title compds. I [R1 = alkyl, cycloalkyl, cycloalkylalkyl, alkoxy, cycloalkoxy, cycloalkylalkoxy, heterocyclyl, heterocyclylalkyl, heterocyclyloxy, heterocyclylalkoxy, each substituted by 1-3 OH; R2 = (un)substituted Ph, heteroaryl; R3 = H, halo, NO2, CN, OH, CO2H, CONH2, alkyl, alkenyl, alkynyl, alkoxy, alkanoyl, FCH2, F2CH, F3C, F3CO; m = 0-2] were prepared for use as glycogen phosphorylase inhibitors in treatment

L3 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
type 2 diabetes, insulin resistance, syndrome X, hyperinsulinemia, hyperglucagonemia, cardiac ischemia, and obesity. Thus, I [R1 = CH2CH2OH, R2 = Ph, R3 = 5-Cl] was prepd. by amidating N-[(5-chloro-1H-indol-2-yl)carbonyl]glycine with PhNHCH2CH2OH and has IC50 0.55 μM for inhibition of glycogen phosphorylase.

IT **599177-73-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-carbamoylmethylindolecarboxamides as glycogen phosphorylase inhibitors)

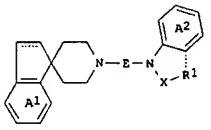
RN 599177-73-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[(2-hydroxyethyl)phenylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:256237 CAPLUS
DOCUMENT NUMBER: 136:294733
TITLE: Preparation of spiro compounds as nociceptin receptor binders
INVENTOR(S): Arai, Toshimitsu; Nishikimi, Yuji; Imamura, Shinichi; Kamiyama, Keiji; Kobayashi, Makoto
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002026714 | A1 | 20020404 | WO 2001-JP8281 | 20010925 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001088110 | A5 | 20020408 | AU 2001-88110 | 20010925 |
| JP 2002173485 | A2 | 20020621 | JP 2001-291794 | 20010925 |
| PRIORITY APPLN. INFO.: | | | JP 2000-293876 A | 20000927 |
| | | | WO 2001-JP8281 W | 20010925 |

OTHER SOURCE(S): MARPAT 136:294733
GI



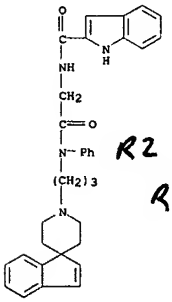
I

AB The title compds. I [A1 and A2 are each an optionally substituted benzene ring; E is a divalent chain hydrocarbon group which may be substituted; X is CO or the like; R1 is an optionally substituted hydrocarbon group or the like, or alternatively R1 may be bonded to a ring-constituting carbon atom of A2 to form a fused ring; and the dotted line represents a single or double bond; a proviso is given] are prepared Processes for preparing I are

L3 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
claimed. In an in vitro test for affinity for the nociceptin receptor, N-[3-(1H-indene-1-spiro-4'-piperidin-1'-yl)propyl]-1-methyl-5-oxo-N-phenyl-3-pyrrolidinecarboxamide fumarate at 1 μM gave 95% binding inhibition. Formulations are given.

IT **407633-18-5P 407633-21-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of spiro compds. as nociceptin receptor binders)

RN 407633-18-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-oxo-2-[phenyl[3-spiro[1H-indene-1,4'-piperidin]-1'-ylpropyl]amino]ethyl]- (9CI) (CA INDEX NAME)



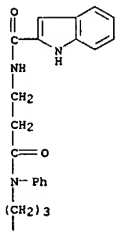
R2 = Ph
R1 = $(CH_2)_3$-Het

(No -OH group)

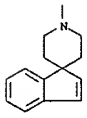
RN 407633-21-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[3-oxo-3-[phenyl[3-spiro[1H-indene-1,4'-piperidin]-1'-ylpropyl]amino]propyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

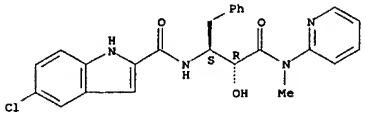
L3 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:554794 CAPLUS
DOCUMENT NUMBER: 135:132447
TITLE: Chloroindolephenylethylamide analogs and their prodrugs as glycogen phosphorylase inhibitors for treatment of diabetic cardiomyopathy
INVENTOR(S): Treadway, Judith Lee
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Jpn. Kokai Tokkyo Koho, 35 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 2001206856 | A2 | 20010731 | JP 2001-14036 | 20010123 |
| NZ 509481 | A | 20050225 | NZ 2001-509481 | 20010119 |
| CA 2331847 | AA | 20010724 | CA 2001-2331847 | 20010122 |
| ZA 2001000607 | A | 20020722 | ZA 2001-607 | 20010122 |
| EP 1125580 | A2 | 20010822 | EP 2001-300575 | 20010123 |
| EP 1125580 | A3 | 20021127 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| US 2001046958 | A1 | 20011129 | US 2001-767633 | 20010123 |
| US 6867184 | B2 | 20050315 | | |
| PRIORITY APPLN. INFO.: | | | US 2000-177770P P | 20000124 |

AB Chloroindolephenylethylamide analogs, including 5-chloro-1H-indole-2-carboxylic acid [(1S)-((R)-hydroxydimethylcarbamoylmethyl)-2-phenylethyl]amide, etc., and their prodrugs are claimed as glycogen phosphorylase inhibitors for treatment of diabetic cardiomyopathy. The title compds. can also combine with insulin, insulin analogs (biguanides), α2-antagonists, imidazolines, glitazone derivs., PPARγ agonists, fatty acid oxidation inhibitors, α-glucosidase inhibitors, β-agonists, phosphodiesterase inhibitors, hypolipidemics, antiobesity agents, vanadium salts, glucagon antagonists, somatostatin analogs, aldose reductase inhibitors, sorbitol dehydrogenase inhibitors, glucocorticoid receptor antagonists, and/or thyroid hormone analogs for treatment of diabetes, cardiovascular diseases, heart ischemia, congestive heart failure, hypertension, diabetic angiopathy, myocardial infarction, etc.
IT 186392-67-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(chloroindolephenylethylamide analogs and their prodrugs as glycogen phosphorylase inhibitors for treatment of diabetic cardiomyopathy and other cardiovascular diseases)
RN 186392-67-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-(methyl-2-pyridinylamino)-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



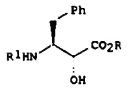
No -OH

X

L3 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:900268 CAPLUS
DOCUMENT NUMBER: 134:42061
TITLE: Preparation of an α-hydroxy-β-indolylcarbonylamino-γ-phenylbutyric acid
INVENTOR(S): DeVries, Keith Michael; Hammen, Philip Dietrich; Fox, Darrell Eugene; Jorgensen, Jeffery Brian; Hoover, Dennis Jay
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1061074 | A1 | 20001220 | EP 2000-305048 | 20000614 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| US 6410750 | B1 | 20020625 | US 2000-565523 | 20000505 |
| ZA 2000002987 | A | 20011214 | ZA 2000-2987 | 20000614 |
| IN 188853 | A | 20021116 | IN 2000-MU552 | 20000614 |
| JP 2001039949 | A2 | 20010213 | JP 2000-179880 | 20000615 |
| JP 3342471 | B2 | 20021111 | | |
| CA 2311872 | AA | 20001218 | CA 2000-2311872 | 20000616 |
| TR 200001781 | A2 | 20010122 | TR 2000-200001781 | 20000616 |
| CN 1283615 | A | 20010214 | CN 2000-118397 | 20000616 |
| RU 2195450 | C2 | 20021227 | RU 2000-115273 | 20000616 |
| BR 2000002686 | A | 20010821 | BR 2000-2686 | 20000619 |
| PRIORITY APPLN. INFO.: | | | US 1999-139997P P | 19990618 |

OTHER SOURCE(S): CASREACT 134:42061; MARPAT 134:42061
GI

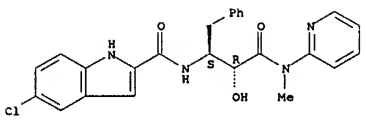


I

AB The title compound (I; R = H, R1 = 5-chloro-2-indolylcarbonyl) was prepared by condensation of 5-chloro-2-indolylcarbonyl chloride with I (R = monovalent cation, R1 = H).
IT 186392-67-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of an α-hydroxy-β-indolylcarbonylamino-γ-phenylbutyric acid)
RN 186392-67-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-(methyl-2-pyridinylamino)-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

No -OH

X

L3 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:424263 CAPLUS
DOCUMENT NUMBER: 129:95714
TITLE: Preparation of new heterocyclic amides as nitric oxide production inhibitors
INVENTOR(S): Yatabe, Takumi; Inoue, Takayuki; Hamashima, Hitoshi; Shima, Ichiro; Ohne, Kazuhiko; Yoshihara, Kousei; Oku, Teruo
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Itoh, Yoshikuni
SOURCE: PCT Int. Appl., 533 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

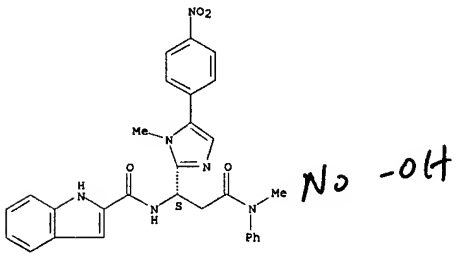
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9827108 | A2 | 19980625 | WO 1997-JP4243 | 19971120 |
| WO 9827108 | A3 | 19980730 | | |
| W: AU, CA, CN, HU, IL, JP, KR, MX, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| AU 9749680 | A1 | 19980715 | AU 1997-49680 | 19971120 |
| EP 946587 | A2 | 19991006 | EP 1997-912529 | 19971120 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| JP 2001505585 | T2 | 20010424 | JP 1998-527528 | 19971120 |
| ZA 9710603 | A | 19980625 | ZA 1997-10603 | 19971125 |
| PRIORITY APPLN. INFO.: | | | AU 1996-4219 A | 19961216 |
| | | | AU 1997-5929 A | 19970401 |
| | | | AU 1997-9030 A | 19970909 |
| | | | WO 1997-JP4243 W | 19971120 |

OTHER SOURCE(S): MARPAT 129:95714
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = S, NR9; Y = CHR3, (un)substituted phenylene; R1 = (un)substituted indolyl, (un)substituted benzofuranyl; R2 = H, phenyl-lower alkyl; R3 = H, (CH2)nR6; R4 = H, (un)substituted Ph, (un)substituted pyridyl; R5 = H, imidazolyl, Ph, nitrophenyl, phenyl-lower alkyl, optionally esterified carboxy, CONR7R8; R4R5 = CH:CHCH:CH; R6 = optionally protected OH, acyl, carboxy, acylamino, lower alkoxy,

L3 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)




No -04

X0

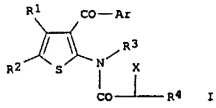
L3 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
phenyl-lower alkoxy, lower alkylthio, (un)substituted Ph; R7, R8 = independently H, Ph, phenyl-lower alkyl, lower alkyl, lower alkoxy; R9 = H, lower alkyl, lower cycloalkyl, (un)substituted benzyl; m = 0, 1; n = 0-3] and pharmaceutically acceptable salts thereof are described as strong inhibitors of the prodn. of nitric oxide. Compds. I are useful for prevention and treatment of nitric oxide-mediated diseases such as adult respiratory distress syndrome, cardiovascular ischemia, myocarditis, heart failure, synovitis, shock, diabetes, diabetic nephropathy, diabetic retinopathy, diabetic neuropathy, glomerulonephritis, peptic ulcer, inflammatory bowel disease, cerebral infarction, cerebral ischemia, cerebral hemorrhage, migraine, rheumatoid arthritis, gout, neuritis, post-herpetic neuralgia, osteoarthritis, osteoporosis, systemic lupus erythematosus, rejection by organ transplantation, asthma, metastasis, Alzheimer's disease, arthritis, CNS disorders, dermatitis, hepatitis, liver cirrhosis, multiple sclerosis, pancreatitis, atherosclerosis, and the like in humans and animals. Thus, 2-step cyclocondensation of amino ketone II (prepn. given) with protected 3-(2-pyridyl)-L-alanine and methylamine gave protected imidazole III (Boc = Me3CO2C). Deprotection of III followed by acylation with indole-2-carboxylic acid gave desired compd. IV. IV inhibited nitric oxide prodn. 100% in murine macrophage cell line RAW264.7 at 10-5 M.
IT **209524-22-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of new heterocyclic amides as nitric oxide production inhibitors)
RN 209524-22-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[(1S)-1-[1-methyl-5-(4-nitrophenyl)-1H-imidazol-2-yl]-3-(methylphenylamino)-3-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:425297 CAPLUS
DOCUMENT NUMBER: 127:50534
TITLE: Preparation of thienylamide derivatives as cholecystokinin inhibitors
INVENTOR(S): Sato, Hideaki; Morimoto, Koji; Sueoka, Hiroyuki; Asano, Kiyoshi; Kitajima, Masahiro
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 33 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 09151183 | A2 | 19970610 | JP 1995-314455 | 19951201 |
| PRIORITY APPLN. INFO.: | | | JP 1995-314455 | 19951201 |

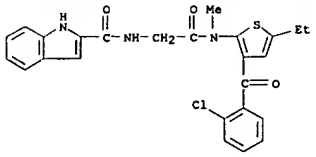
OTHER SOURCE(S): MARPAT 127:50534
GI




I

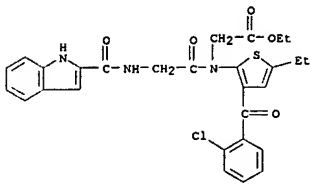
AB The title compds. [I; R1 = H, halo, C1-5 alkyl; R2 = H, halo, (un)substituted C1-5 alkyl, cyano, etc.; R3, R4 = H, C1-5 alkyl, etc.; X = YZ; Y = NHCO, NHCONH, etc.; Z = aryl, heteroaryl, etc.; Ar = (un)substituted Ph] are prepared I, possessing pancreas enzyme and stomach acid secretion inhibitory activity, are useful for prevention and treatment of digestive system diseases such as pancreatitis and pancreas cancer. Thus, I.HBr (R1 = R4 = H, R2 = Et, R3 = Me, Ar = o-ClC6H4, X = NH2) (preparation given) was reacted with indole-2-carboxylic chloride in the presence of Et3N to give the title compound I (R1 = R4 = H, R2 = Et, R3 = Me, Ar = o-ClC6H4, X = YZ, Y = NHCO, Z = 2-indole), which showed IC50 of 0.26 nM against cholecystokinin-A receptor when tested with rat pancreas in vitro.
IT **190968-51-5P 190968-75-3P 190968-80-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thienylamide derivs. as cholecystokinin inhibitors)
RN 190968-51-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[[3-(2-chlorobenzoyl)-5-ethyl-2-thienyl]methylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

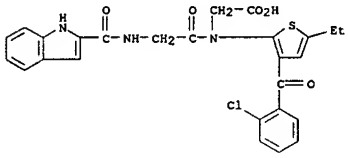


No OH group on R1

RN 190968-75-3 CAPLUS
CN Glycine, 1H-indole-2-carbonylglycyl-N-[3-(2-chlorobenzoyl)-5-ethyl-2-thienyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 190968-80-0 CAPLUS
CN Glycine, 1H-indole-2-carbonylglycyl-N-[3-(2-chlorobenzoyl)-5-ethyl-2-thienyl]- (9CI) (CA INDEX NAME)



X

L3 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:124408 CAPLUS
DOCUMENT NUMBER: 126:131381
TITLE: Preparation of substituted indole-2-carboxamides and derivatives as glycogen phosphorylase inhibitors.
INVENTOR(S): Hulin, Bernard; Hoover, Dennis J.; Treadway, Judith L.; Martin, William H.
PATENT ASSIGNEE(S): Pfizer Inc., USA; Hulin, Bernard; Hoover, Dennis J.; Treadway, Judith L.; Martin, William H.
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

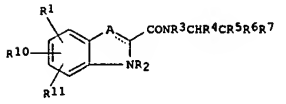
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9639385 | A1 | 19961212 | WO 1995-IB443 | 19950606 |
| W: CA, FI, JP, MX, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| CA 2342471 | AA | 19961212 | CA 1995-2342471 | 19950606 |
| CA 2342471 | C | 20021029 | | |
| EP 832066 | A1 | 19980401 | EP 1995-918718 | 19950606 |
| EP 832066 | B1 | 20010912 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE | | | | |
| JP 11500445 | T2 | 19990112 | JP 1997-500245 | 19950606 |
| JP 3068200 | B2 | 20000724 | | |
| AT 205477 | E | 20010915 | AT 1995-918718 | 19950606 |
| EP 1134213 | A2 | 20010919 | EP 2001-105284 | 19950606 |
| EP 1134213 | A3 | 20020417 | | |
| EP 1134213 | B1 | 20051102 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE | | | | |
| ES 2161291 | T3 | 20011201 | ES 1995-918718 | 19950606 |
| PT 832066 | T | 20011228 | PT 1995-918718 | 19950606 |
| ES 2164151 | T3 | 20020216 | ES 1995-918717 | 19950606 |
| PT 832065 | T | 20020228 | PT 1995-918717 | 19950606 |
| AT 308521 | E | 20051115 | AT 2001-105284 | 19950606 |
| LV 11614 | B | 19970420 | LV 1996-173 | 19960604 |
| BR 9602626 | A | 19980901 | BR 1996-2626 | 19960604 |
| NO 9602322 | A | 19961209 | NO 1996-2322 | 19960605 |
| NO 307335 | B1 | 20000320 | | |
| AU 9654753 | A1 | 19961219 | AU 1996-54753 | 19960605 |
| AU 700887 | B2 | 19990114 | | |
| ZA 9604646 | A | 19971205 | ZA 1996-4646 | 19960605 |
| RU 2159613 | C2 | 20001127 | RU 1996-111013 | 19960605 |
| CZ 289233 | B6 | 20011212 | CZ 1996-1627 | 19960605 |
| HR 960266 | B1 | 20020831 | HR 1996-960266 | 19960606 |
| TW 450961 | B | 20010821 | TW 1996-85107435 | 19960619 |
| US 6297269 | B1 | 20011002 | US 1997-952668 | 19971202 |
| FI 9704437 | A | 19971205 | FI 1997-4437 | 19971205 |
| US 2002028810 | A1 | 20020307 | US 2001-881136 | 20010614 |
| US 6649634 | B2 | 20031118 | | |
| GR 3037075 | T3 | 20020131 | GR 2001-401947 | 20011030 |
| CN 1374082 | A | 20021016 | CN 2002-106667 | 20020305 |
| US 2004006088 | A1 | 20040108 | US 2003-464728 | 20030617 |

L3 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

US 6846820 B2 20050125
PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| CA 1995-2223625 | A | 19950606 |
| CA 1995-2224062 | A3 | 19950606 |
| EP 1995-918717 | A3 | 19950606 |
| EP 1995-918718 | A | 19950606 |
| EP 2001-105284 | A | 19950606 |
| WO 1995-IB443 | W | 19950606 |
| US 1997-952668 | A3 | 19971202 |
| US 2001-881136 | A3 | 20010614 |

OTHER SOURCE(S): MARPAT 126:131381
GI



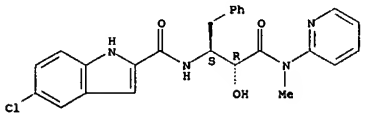
I

AB Title compds. [I; dotted line = optional double bond; A = CH, CR20, CH2, CHR21; ; R20 = alkyl, halo; R21 = alkyl; R1, R10, R11 = H, halo, NO2, cyano, alkyl, alkoxy, CH2F, CHF2, CF3; R2 = H, R3 = H, alkyl; R4 = H, Me, Et, Pr, hydroxyalkyl, alkoxyalkyl, (substituted) phenylalkyl, phenylhydroxyalkyl, thienylalkyl, furylalkyl, pyridylalkyl, thiazolylalkyl, triazinylalkyl, etc.; R5 = H, OH, F, alkyl, alkoxy, alkanoyl, amionoalkoxy, carboxyalkoxy, etc.; R6 = CO2H, alkoxycarbonyl, CONR8R9, COR12; R8 = H, alkyl, OH, alkoxy; R9 = H, (substituted) alkyl, OH, alkoxy, methylene-perfluorinated alkyl, Ph, pyridyl, thienyl, furyl pyrrolyl, pyrrolidinyl, oxazolyl, thiazolyl, pyranyl, piperidinyl, morpholinyl, pyridazinyl, pyrimidinyl, pyrazinyl, etc.; R12 = piperazin-1-yl, 4-alkylpiperazin-1-yl, thiomorpholino, substituted oxazetidin-2-yl, etc.], were prepared as glycogen phosphorylase inhibitors
(no data). Thus, iso-Pr (3S)-amino-4-phenyl-(2R)-hydroxybutyrate, 5-chloroindole-2-carboxylic acid, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide, and 1-hydroxybenzotriazole were stirred 18 h in CH2Cl2 to give 91% iso-Pr (3S)-[(5-chloro-1H-indole-2-carbonyl)amino]-(2R)-hydroxy-4-phenylbutyrate.

IT **186392-67-6P 186392-80-3P 186392-81-4P 186392-82-5P 186392-83-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted indole-2-carboxamides and derivs. as glycogen phosphorylase inhibitors)

L3 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 186392-67-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1S,2R)-2-hydroxy-3-(methyl-2-pyridinylamino)-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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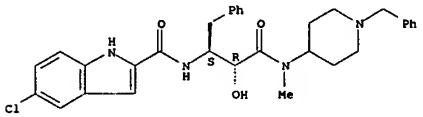
RN 186392-80-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-hydroxy-3-[methyl[1-(phenylmethyl)-4-piperidinyl]amino]-3-oxo-1-(phenylmethyl)propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

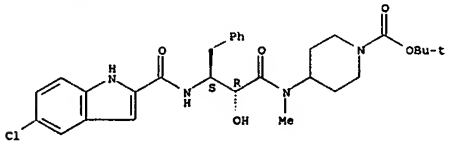
Absolute stereochemistry.



X

RN 186392-81-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[3-[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-2-hydroxy-1-oxo-4-phenylbutyl]methylamino]-, 1,1-dimethylethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

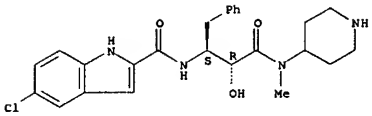


X

RN 186392-82-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-hydroxy-3-(methyl-4-piperidinylamino)-3-oxo-1-(phenylmethyl)propyl]-, monohydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

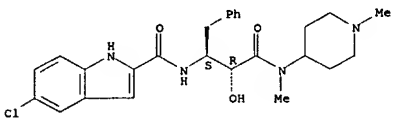
L3 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 186392-83-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-hydroxy-3-[methyl(1-methyl-4-piperidinyl)amino]-3-oxo-1-(phenylmethyl)propyl]-, monohydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



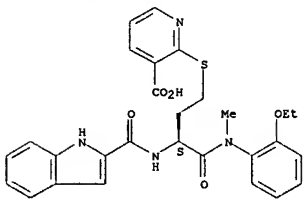
● HCl

L3 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
p)2 (R = 2-indolecarbonyl, R = 3-carboxy-2-pyridyl) in vitro showed IC50 of 0.012 and 23 μM for inhibiting the binding of [3H]-CCK-8 to CCK-A receptor of rat spleen cell membrane and CCK-B receptor of rat brain cell membrane, resp.

IT **183061-94-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-acyl-amino acid amide derivs. as cholecystokinin (CCK) antagonists for treatment of diseases)

RN 183061-94-1 CAPLUS
CN 3-Pyridinecarboxylic acid, 2-[[4-[(2-ethoxyphenyl)methylamino]-3-[(1H-indol-2-ylcarbonyl)amino]-4-oxobutyl]thio]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L3 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:672558 CAPLUS
DOCUMENT NUMBER: 125:329467
TITLE: Preparation of N-acyl-amino acid amide derivatives as cholecystokinin (CCK) antagonists
INVENTOR(S): Ogawa, Masashi; Morita, Tadashi; Matsuda, Sei; Iibuchi, Norihiro; Kidokoro, Shinpei
PATENT ASSIGNEE(S): Tobishi Pharmaceutical Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 28 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 08217751 | A2 | 19960827 | JP 1995-52086 | 19950217 |
| PRIORITY APPLN. INFO.: | | | JP 1995-52086 | 19950217 |

OTHER SOURCE(S): MARPAT 125:329467

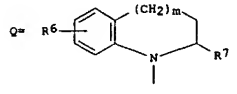
AB R1R2NCOCH[(CH2)nR3]NHCOR4 [n = 1,2; R1 = H, C1-5 alkyl, methylbenzyl, ethylbenzyl, Ph(CH2)3, PhO(CH2)3; R2 = C1-5 alkoxyalkyl, C1-3 alkyl-benzyl, Ph(CH2)3, ethoxyphenyl, PhO(CH2)3, Ph2CHCH2CH2, methoxybenzhydryl, adamantyl, 10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl; R3 = carboxypyridylthio, carboxyoxazolyl, carboxymethyltetrazolylthio, CH2N3, CH2OH, CH2NH2; R4 = dichlorophenyl, indolyl], which are serine, aspartic acid, and glutamic acid derivs., show potent selective antagonistic inhibition for CCK receptor, and are useful for the treatment of pancreatic cancer, stomach ulcer, duodenal ulcer, peptic ulcer, colitis, loss of liver function, and cute pancreatitis, are prepared Thus, Z-Ser(THP)-OH (THP = 2-tetrahydropyranyl, Z = PhCH2O2C) was condensed with Me(CH2)4NH(CH2)3OMe using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in THF, followed by deprotection with a mixture of 1 N aqueous HCl and THF, to give Z-Ser-N[(CH2)4Me](CH2)3OMe. This compound was tosylated by p-toluenesulfonyl chloride in the presence of Et3N and 4-dimethylaminopyridine in CH2Cl2 to give R-Ser(R1)-N[(CH2)4Me](CH2)3OMe (I; R = Z, R1 = tosyl), which was condensed with 2-mercaptonicotinic acid in DMF in the presence of K2CO3 in DMF at 80° for 4 h, followed by methylation with di-Me sulfate at room temperature for 2 h, to give I (R = Z, R1 = 3-methoxycarbonyl-2-pyridyl). The latter compound was treated with 30% HBr in AcOH at room temperature for 20 min, followed by work-up, and condensed with indole-2-carboxylic acid using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and 1-hydroxybenzotriazole in CH2Cl2 to give I (R = 2-indolecarbonyl, R1 = 3-carboxy-2-pyridyl). The latter compound in vitro showed IC50 of 0.089 μM for inhibiting CCK-8-induced contraction of guinea pig's ileum. R-Ser(R1)-N(CH2C6H4Me-

L3 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:462231 CAPLUS
DOCUMENT NUMBER: 125:115153
TITLE: Preparation of (acylamino)acetamide derivatives with agonist activity for cholecystokinin-A receptors
INVENTOR(S): Dezube, Milana; Hirst, Gavin Charles; Willson, Timothy; Mark; Sherrill, Ronald George; Sugg, Elizabeth Ellen; Szewczyk, Jerzy Ryszard
PATENT ASSIGNEE(S): Glaxo Wellcome Inc., USA
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9611940 | A1 | 19960425 | WO 1995-EP4026 | 19951012 |
| W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM | | | | |
| RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9538418 | A1 | 19960506 | AU 1995-38418 | 19951012 |
| EP 785944 | A1 | 19970730 | EP 1995-936483 | 19951012 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| JP 10511929 | T2 | 19981117 | JP 1995-512935 | 19951012 |
| US 5889182 | A | 19990330 | US 1997-817363 | 19970414 |
| PRIORITY APPLN. INFO.: | | | GB 1994-20763 A | 19941014 |
| | | | WO 1995-EP4026 W | 19951012 |

OTHER SOURCE(S): MARPAT 125:115153
GI



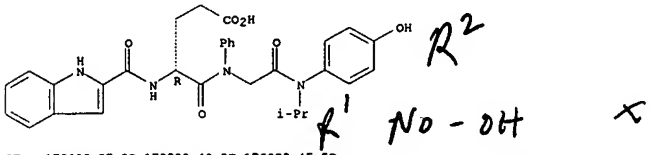
AB A cholecystokinin-A (CCK-A) agonist of the general formula R1R2NCOCH2NR3COR4 [R1 = C3-6 alkyl, C3-6 cycloalkyl, C3-6 alkenyl, Ph, (CH2)pCN, (CH2)pCO2(C1-4 alkyl); R2 = C3-6 alkyl, C3-6 cycloalkyl, C3-6 alkenyl, PhCH2, Ph or Ph mono- or disubstituted independently with C1-3 alkyl, CN, OH, NMe2, O(C1-4 alkyl), OCH2Ph, NH(C1-4 alkyl), CO2(C1-4 alkyl), N(C1-4 alkyl)2, pyrrolidino, morpholino, halo, C1-3 alkyl substituted by 1 or more F; R1 = C1-2 alkyl, R2 = 2- or 4-C6H4R, R = Cl, Me, MeO, CO2Me; R1R2N = Q; R3 = C1-6 alkyl; Ph or Ph substituted by 1 or

L3 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
C1-3 alkyl, C1-4 alkoxy or halo groups, thiophenyl; R4 = CR6R9(CH2)n(NH)p(CO)q(NH)rR5, CH2N(CHR16R17)CO(NR)rR5; R5 = C1-6 alkyl, C3-8 cycloalkyl, Ph, mono- or disubstituted Ph, optionally substituted heteroaryl or bicycloheteroaryl; R6 = H, optionally substituted C1-3 alkyl; R7 = H, Me; R8 = H, OH, F, NMe2, C1-4 alkoxy, PhCH2O; R9 = H, C1-6 alkyl; R16 = C1-6 alkyl, C3-8 cycloalkyl, optionally halo substituted Ph, pyridyl, pyrimidinyl, thiophenyl; R17 together with R3 form o-disubstituted Ph ring optionally substituted with halo, CF3, C1-3 alkyl, C1-4 alkylthio, of C1-4 alkoxy; m = 0-2; n = 0-3; p = 0, 1; q = 0, 1; r = 0, 1] and physiol. acceptable salts thereof. Thus, ureidodipeptide amide PhNHCO-D-Glu-N(Ph)CH2CON(CHMe2)C6H4OMe-4, prepd. in 4 steps from Boc-D-Glu(OCMe3)-OH, PhNH2, and BrCH2CON(CHMe2)C6H4OMe-4, was 55% as active as sulfated CCK-8 in a guinea pig gall bladder assay.

IT 179082-62-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (acylamino)acetamide derivs. with agonist activity for cholecystokinin-A receptors)

RN 179082-62-3 CAPLUS
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)-D-α-glutamyl-N-(4-hydroxyphenyl)-N-(1-methylethyl)-N2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

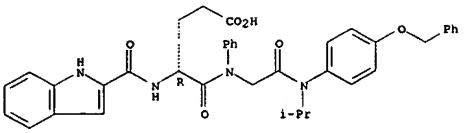


IT 179083-27-3P 179083-40-0P 179083-45-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (acylamino)acetamide derivs. with agonist activity for cholecystokinin-A receptors)

RN 179083-27-3 CAPLUS
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)-D-α-glutamyl-N-(1-methylethyl)-N2-phenyl-N-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

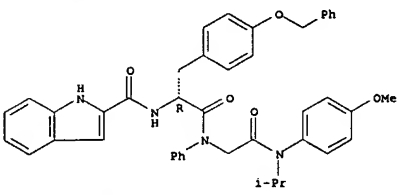
Absolute stereochemistry.

L3 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



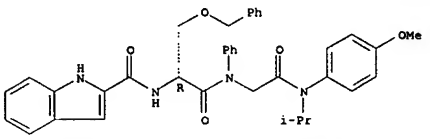
RN 179083-40-0 CAPLUS
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)-O-(phenylmethyl)-D-tyrosyl-N-(4-methoxyphenyl)-N-(1-methylethyl)-N2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179083-45-5 CAPLUS
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)-O-(phenylmethyl)-D-seryl-N-(4-methoxyphenyl)-N-(1-methylethyl)-N2-phenyl- (9CI) (CA INDEX NAME)

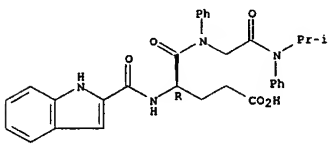
Absolute stereochemistry.



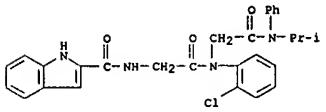
IT 179082-64-5P 179082-69-0P 179082-75-8P
179082-77-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of (acylamino)acetamide derivs. with agonist activity for cholecystokinin-A receptors)

L3 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 179082-64-5 CAPLUS
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)-D-α-glutamyl-N-(1-methylethyl)-N,N2-diphenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

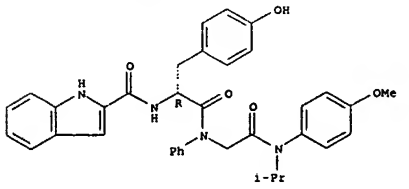


RN 179082-69-0 CAPLUS
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)glycyl-N2-(2-chlorophenyl)-N-(1-methylethyl)-N-phenyl- (9CI) (CA INDEX NAME)



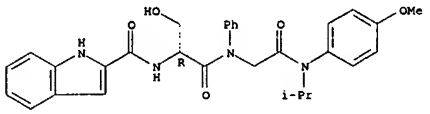
RN 179082-75-8 CAPLUS
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)-D-tyrosyl-N-(4-methoxyphenyl)-N-(1-methylethyl)-N2-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 179082-77-0 CAPLUS
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)-D-seryl-N-(4-methoxyphenyl)-N-(1-methylethyl)-N2-phenyl- (9CI) (CA INDEX NAME)

L3 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.



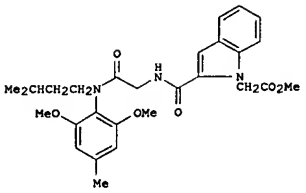
L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:298392 CAPLUS
DOCUMENT NUMBER: 124:343106
TITLE: Preparation of N-aryl-Nα-(indolylcarbonyl)glycineamides and analogs as cholecystokinin receptor agonists
INVENTOR(S): Bras, Jean-Pierre; De Cointet, Paul; Despeyroux, Pierre; Frehel, Daniel; Gully, Danielle; Maffrand, Jean-Pierre; Bignon, Eric
PATENT ASSIGNEE(S): Sanofi, Fr.
SOURCE: Eur. Pat. Appl., 78 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 697403 | A1 | 19960221 | EP 1995-401912 | 19950818 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| FR 2723739 | A1 | 19960223 | FR 1994-10165 | 19940819 |
| FR 2723739 | B1 | 19970214 | | |
| IL 114925 | A1 | 19991231 | IL 1995-114925 | 19950814 |
| US 5731340 | A | 19980324 | US 1995-515640 | 19950816 |
| CA 2156455 | AA | 19960220 | CA 1995-2156455 | 19950818 |
| CA 2156455 | C | 20001107 | | |
| FI 9503898 | A | 19960220 | FI 1995-3898 | 19950818 |
| NO 9503260 | A | 19960220 | NO 1995-3260 | 19950818 |
| AU 9530146 | A1 | 19960229 | AU 1995-30146 | 19950818 |
| AU 699581 | B2 | 19981210 | | |
| ZA 9506915 | A | 19960325 | ZA 1995-6915 | 19950818 |
| JP 08119923 | A2 | 19960514 | JP 1995-210481 | 19950818 |
| HU 72743 | A2 | 19960528 | HU 1995-2443 | 19950818 |
| CN 1131144 | A | 19960918 | CN 1995-116378 | 19950818 |
| RU 2130923 | C1 | 19990527 | RU 1995-113885 | 19950818 |
| KR 190672 | B1 | 19990601 | KR 1995-25817 | 19950819 |

PRIORITY APPLN. INFO.: FR 1994-10165 A 19940819

OTHER SOURCE(S): MARPAT 124:343106
GI

L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



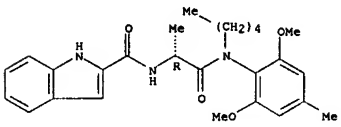
II

AB R1NRCOCHR2NHCOR3 [I; R = substituted 2-(MeO)C6H4, -2-methoxy-3-pyridyl, -4-methoxy-5-pyrimidinyl, naphthyl; R1 = (ar)alkyl, cycloalkyl(alkyl), alkoxyalkyl, (CH2)1-3COR4, etc.; R2 = H, (un)substituted alkyl; R3 = naphthyl, quinolyl, indolyl, etc.; R4 = pyrrolidino, piperidino, morpholino] were prepared as CCK-A receptor agonists. Thus, Me2CHCH2CH2COCl was amidated by 2,6-dimethoxy-4-methylaniline and the reduced product amidated by Me3CO2CNHCH2CO2H to give, after deprotection, N-(2,6-dimethoxy-4-methylphenyl)-N-isopentylglycineamide which was amidated by N-(methoxycarbonylmethyl)indole-2-carboxylic acid to give title compound II. Selected I had ED50 of 1mg/kg i.p. for blockage of gastric emptying in mice.

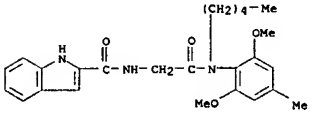
IT 176526-29-7P 176526-34-4P 176526-40-2P
176526-41-3P 176526-42-4P 176526-43-5P
176526-44-6P 176526-45-7P 176526-46-8P
176526-47-9P 176526-48-0P 176526-49-1P
176526-50-4P 176526-51-5P 176526-73-1P
176526-75-3P 176526-79-7P 176526-85-5P
176526-88-8P 176526-92-4P 176526-93-5P
176526-99-1P 176527-09-6P 176527-12-1P
176527-14-3P 176527-17-6P 176527-20-1P
176527-22-3P 176527-25-6P 176527-29-0P
176527-33-6P 176527-34-7P 176527-36-9P
176527-38-1P 176527-41-6P 176527-45-0P
176527-67-6P 176527-70-1P 176527-75-6P
176527-82-5P 176527-86-9P 176528-11-3P
176528-12-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-aryl-Nα-(indolylcarbonyl)glycineamides and analogs as cholecystokinin receptor agonists)

RN 176526-29-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-1-methyl-2-oxoethyl]-, (R)- (9CI) (CA INDEX NAME)

L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry. Rotation (-).

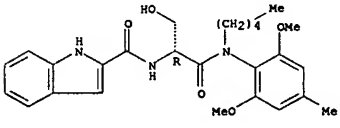


RN 176526-34-4 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 176526-40-2 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-1-(hydroxymethyl)-2-oxoethyl]-, (R)- (9CI) (CA INDEX NAME)

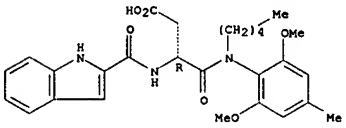
Absolute stereochemistry. Rotation (-).



RN 176526-41-3 CAPLUS
CN Butanoic acid, 4-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-3-[(1H-indol-2-ylcarbonyl)amino]-4-oxo-, (R)- (9CI) (CA INDEX NAME)

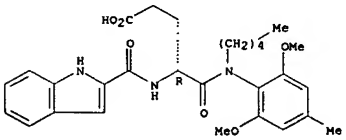
Absolute stereochemistry. Rotation (-).

L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



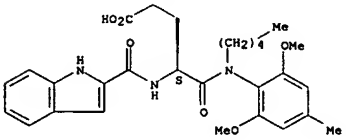
RN 176526-42-4 CAPLUS
CN Pentanoic acid, 5-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-4-[(1H-indol-2-ylcarbonyl)amino]-5-oxo-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 176526-43-5 CAPLUS
CN Pentanoic acid, 5-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-4-[(1H-indol-2-ylcarbonyl)amino]-5-oxo-, (S)- (9CI) (CA INDEX NAME)

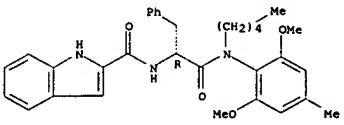
Absolute stereochemistry. Rotation (+).



RN 176526-44-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-2-oxo-1-(phenylmethyl)ethyl]-, (R)- (9CI) (CA INDEX NAME)

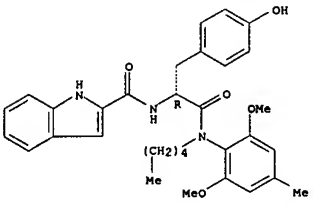
Absolute stereochemistry. Rotation (-).

L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



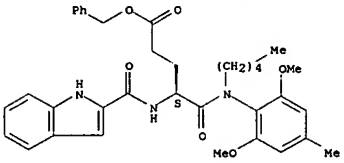
RN 176526-45-7 CAPLUS
CN 1H-Indole-2-carboxamide,
N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-
1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

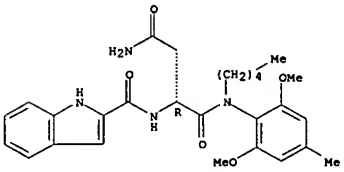


RN 176526-46-8 CAPLUS
CN Pentanoic acid,
5-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-4-[(1H-indol-
2-ylcarbonyl)amino]-5-oxo-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

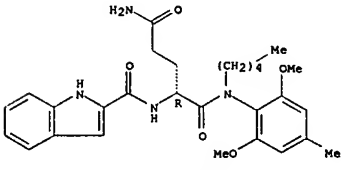


L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



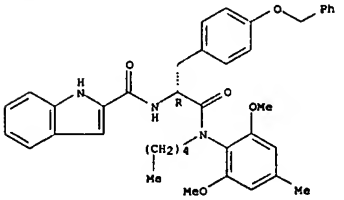
RN 176526-50-4 CAPLUS
CN Pentanediamide, N1-(2,6-dimethoxy-4-methylphenyl)-2-[(1H-indol-2-
ylcarbonyl)amino]-N1-pentyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 176526-51-5 CAPLUS
CN 1H-Indole-2-carboxamide,
N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-
2-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

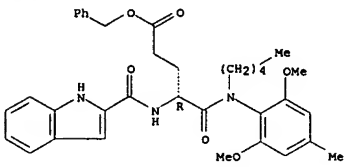
Absolute stereochemistry.



RN 176526-73-1 CAPLUS

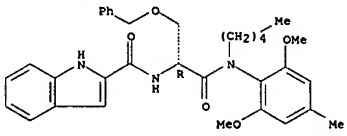
L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 176526-47-9 CAPLUS
CN Pentanoic acid,
5-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-4-[(1H-indol-
2-ylcarbonyl)amino]-5-oxo-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 176526-48-0 CAPLUS
CN 1H-Indole-2-carboxamide,
N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-
2-oxo-1-[(phenylmethoxy)methyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

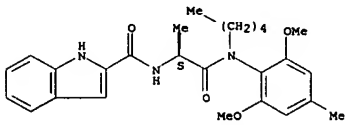


RN 176526-49-1 CAPLUS
CN Butanediamide, N1-(2,6-dimethoxy-4-methylphenyl)-2-[(1H-indol-2-
ylcarbonyl)amino]-N1-pentyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

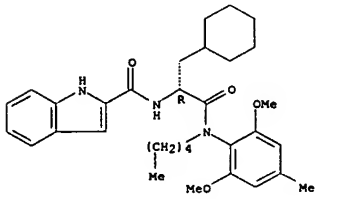
L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Indole-2-carboxamide,
N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-
1-methyl-2-oxoethyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



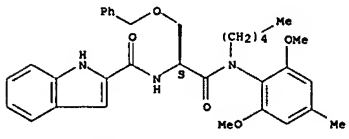
RN 176526-75-3 CAPLUS
CN 1H-Indole-2-carboxamide, N-[1-(cyclohexylmethyl)-2-[(2,6-dimethoxy-4-
methylphenyl)pentylamino]-2-oxoethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



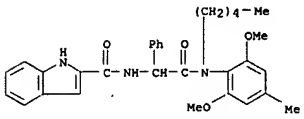
RN 176526-79-7 CAPLUS
CN 1H-Indole-2-carboxamide,
N-[2-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-
2-oxo-1-[(phenylmethoxy)methyl]ethyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



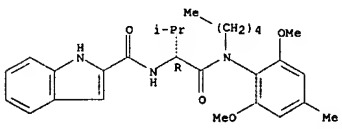
RN 176526-85-5 CAPLUS
CN 1H-Indole-2-carboxamide,
4-methylphenyl)pentylamino]-

L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)



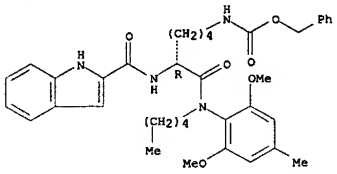
RN 176526-88-8 CAPLUS
CN 1H-Indole-2-carboxamide, N-[1-[[(2,6-dimethoxy-4-methylphenyl)pentylamino]carbonyl]-2-methylpropyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 176526-92-4 CAPLUS
CN Carbamic acid, [6-[(2,6-dimethoxy-4-methylphenyl)pentylamino]-5-[(1H-indol-2-ylcarbonyl)amino]-6-oxohexyl]-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

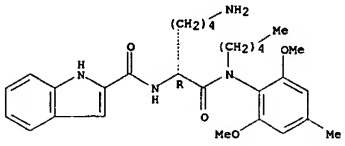
Absolute stereochemistry. Rotation (-).



RN 176526-93-5 CAPLUS

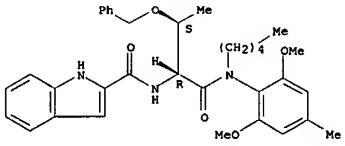
L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1H-Indole-2-carboxamide, N-[5-amino-1-[[(2,6-dimethoxy-4-methylphenyl)pentylamino]carbonyl]pentyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

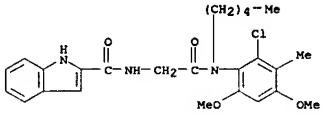


RN 176526-99-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[1-[[(2,6-dimethoxy-4-methylphenyl)pentylamino]carbonyl]-2-(phenylmethoxy)propyl]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

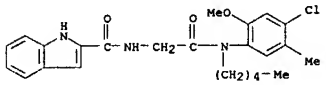


RN 176527-09-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2-chloro-4,6-dimethoxy-3-methylphenyl)pentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

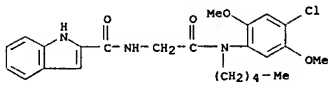


RN 176527-12-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(4-chloro-2-methoxy-5-methylphenyl)pentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

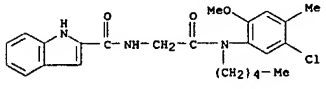
L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



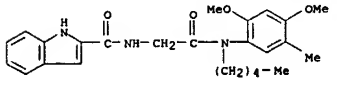
RN 176527-14-3 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(4-chloro-2,5-dimethoxyphenyl)pentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 176527-17-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(5-chloro-2-methoxy-4-methylphenyl)pentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

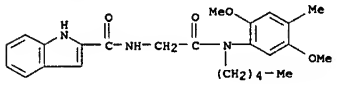


RN 176527-20-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,4-dimethoxy-5-methylphenyl)pentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

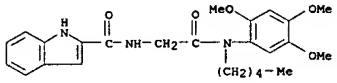


RN 176527-22-3 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,5-dimethoxy-4-methylphenyl)pentylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

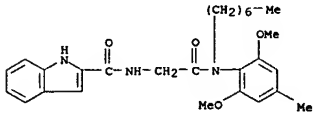
L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



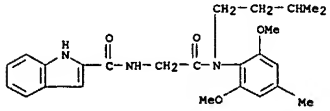
RN 176527-25-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-oxo-2-[pentyl(2,4,5-trimethoxyphenyl)amino]ethyl]- (9CI) (CA INDEX NAME)



RN 176527-29-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)heptylamino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

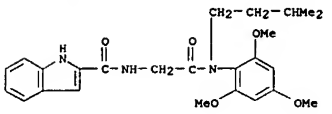


RN 176527-33-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)(3-methylbutyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

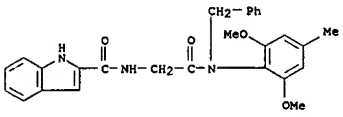


RN 176527-34-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(3-methylbutyl)(2,4,6-trimethoxyphenyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

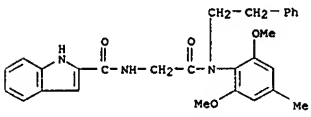
L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 176527-36-9 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)(phenylmethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

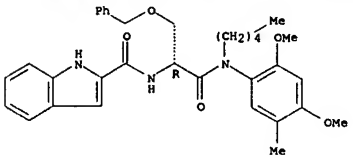


RN 176527-38-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)(2-phenylethyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



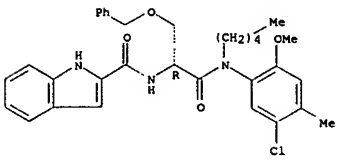
RN 176527-41-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(cyclohexylmethyl)(2,6-dimethoxy-4-methylphenyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



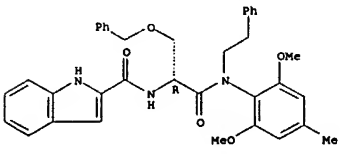
RN 176527-75-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(5-chloro-2-methoxy-4-methylphenyl)pentylamino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 176527-82-5 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)(2-phenylethyl)amino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

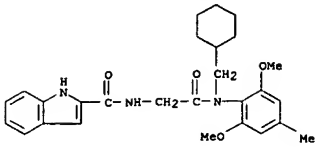
Absolute stereochemistry. Rotation (-).



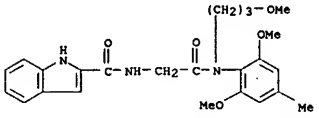
RN 176527-86-9 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(4-chloro-2-methoxy-5-methylphenyl)pentylamino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

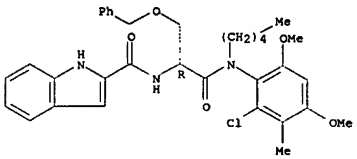


RN 176527-45-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,6-dimethoxy-4-methylphenyl)(3-methoxypropyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 176527-67-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2-chloro-4,6-dimethoxy-3-methylphenyl)pentylamino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

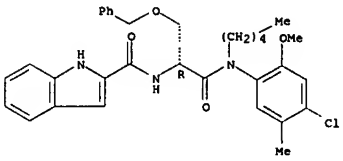
Absolute stereochemistry. Rotation (-).



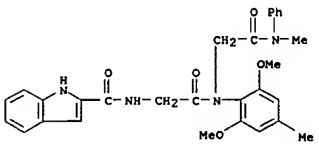
RN 176527-70-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[(2,4-dimethoxy-5-methylphenyl)pentylamino]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

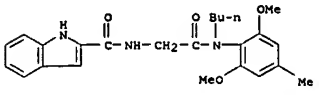
L3 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 176528-11-3 CAPLUS
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)glycyl-N2-(2,6-dimethoxy-4-methylphenyl)-N-methyl-N-phenyl- (9CI) (CA INDEX NAME)



RN 176528-12-4 CAPLUS
CN 1H-Indole-2-carboxamide, N-[2-[butyl(2,6-dimethoxy-4-methylphenyl)amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)



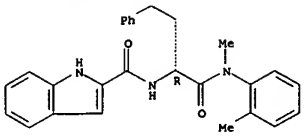
L3 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:256040 CAPLUS
DOCUMENT NUMBER: 116:256040
TITLE: Preparation of amino acid derivatives as digestive tract hormone antagonists
INVENTOR(S): Tsushima, Tadahiko; Ishihara, Teruichi; Hagishita, Yamaji; Seno, Kaoru; Ihii, Nobuhiro
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 46 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 03294253 | A2 | 19911225 | JP 1990-96661 | 19900412 |
| PRIORITY APPLN. INFO.: | | | JP 1990-96661 | 19900412 |

OTHER SOURCE(S): MARPAT 116:256040
GI For diagram(s), see printed CA Issue.
AB R1Z(CH2)nCH(CONR3R4)NHC(:X)YR2 [I; R1 = CO2H, CONH2, cyano, tetrazolyl, (un)substituted aryl; R2 = (un)substituted aryl; R3, R4 = H, alkyl, (un)substituted aryl; n = 0-2; X = O, S; Y = single bond, NH; Z = CAH, CO; A = H, halo, OH; provided that when A = H, R1 = aryl or R1 = tetrazolyl and R2 = aryl], which are antagonists of cholecystokinin (CCK) or gastrin receptors, are prepared Thus, carbamoylation of (R)-R5-Asp-N[(CH2)4Me]2 (II; R5 = H).HCl with m-MeC6H4NCO in the presence of Et3N in CH2Cl2 gave 65.2% II (R5 = m-MeC6H4NHCO). Title compound (III) in vitro inhibited the binding of [3H]-CCK-8 to CCK-A and CCK-B receptors of a mouse spleen and brain, resp., with IC50 of 200 and 43,000, resp. Approx. 130 I were prepared and addnl. 46 I were similarly tested.
IT **141470-25-9P 141470-45-3P 141470-60-2P**
**141470-66-8P 141470-69-1P 141483-77-4P**
**141491-71-6P 141491-72-7P 141491-86-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as cholecystokinin and gastrin antagonist)
RN 141470-25-9 CAPLUS
CN 1H-Indole-2-carboxamide, N-[1-[[methyl(2-methylphenyl)amino]carbonyl]-3-phenylpropyl]-, (R)- (9CI) (CA INDEX NAME)

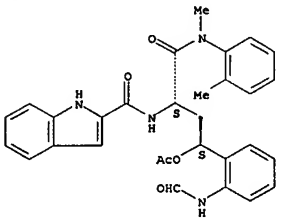
Absolute stereochemistry.

L3 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 141470-45-3 CAPLUS
CN 1H-Indole-2-carboxamide, N-[3-(acetyloxy)-3-[2-(formylamino)phenyl]-1-[[methyl(2-methylphenyl)amino]carbonyl]propyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

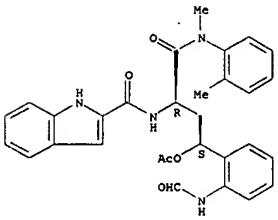
Absolute stereochemistry.



RN 141470-60-2 CAPLUS
CN 1H-Indole-2-carboxamide, N-[3-(acetyloxy)-3-[2-(formylamino)phenyl]-1-[[methyl(2-methylphenyl)amino]carbonyl]propyl]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

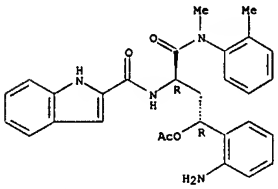
Absolute stereochemistry.

L3 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 141470-66-8 CAPLUS
CN 1H-Indole-2-carboxamide, N-[3-(acetyloxy)-3-(2-aminophenyl)-1-[[methyl(2-methylphenyl)amino]carbonyl]propyl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

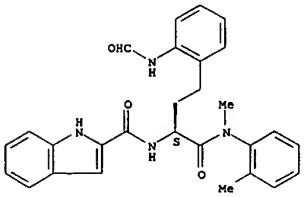
Absolute stereochemistry.



RN 141470-69-1 CAPLUS
CN 1H-Indole-2-carboxamide, N-[3-[2-(formylamino)phenyl]-1-[[methyl(2-methylphenyl)amino]carbonyl]propyl]-, (S)- (9CI) (CA INDEX NAME)

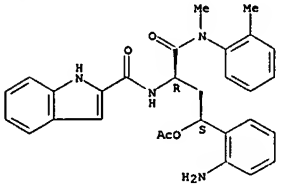
Absolute stereochemistry.

L3 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 141483-77-4 CAPLUS
CN 1H-Indole-2-carboxamide, N-[3-(acetyloxy)-3-(2-aminophenyl)-1-[[methyl(2-methylphenyl)amino]carbonyl]propyl]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

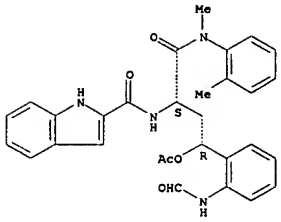
Absolute stereochemistry.



RN 141491-71-6 CAPLUS
CN 1H-Indole-2-carboxamide, N-[3-(acetyloxy)-3-[2-(formylamino)phenyl]-1-[[methyl(2-methylphenyl)amino]carbonyl]propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

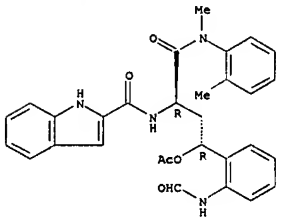
Absolute stereochemistry.

L3 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 141491-72-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-[3-(acetyloxy)-3-[2-(formylamino)phenyl]-1-[[methyl(2-methylphenyl)amino]carbonyl]propyl]-, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

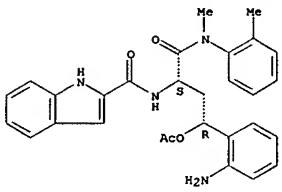
Absolute stereochemistry.



RN 141491-86-3 CAPLUS
CN 1H-Indole-2-carboxamide, N-[3-(acetyloxy)-3-(2-aminophenyl)-1-[[methyl(2-methylphenyl)amino]carbonyl]propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L3 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:214907 CAPLUS
DOCUMENT NUMBER: 116:214907
TITLE: Preparation of N-acetyl-N-phenylglycinanides as drugs
INVENTOR(S): Bourzat, Jean Dominique; Capet, Marc; Cotrel, Claude; Guyon, Claude; Manfre, Franco; Roussel, Gerard
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer SA, Fr.
SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9112264 | A1 | 19910822 | WO 1991-FR87 | 19910206 |
| W: AU, CA, HU, JP, KR, NO, SU, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE | | | | |
| FR 2658196 | A1 | 19910816 | FR 1990-1553 | 19900209 |
| FR 2658196 | B1 | 19920424 | | |
| FR 2667319 | A2 | 19920403 | FR 1990-11916 | 19900927 |
| FR 2667319 | B2 | 19921120 | | |
| FR 2667863 | A2 | 19920417 | FR 1990-12594 | 19901012 |
| FR 2667863 | B2 | 19921127 | | |
| CA 2072981 | AA | 19910810 | CA 1991-2072981 | 19910206 |
| AU 9173295 | A1 | 19910903 | AU 1991-73295 | 19910206 |
| AU 639081 | B2 | 19930715 | | |
| EP 514442 | A1 | 19921125 | EP 1991-903956 | 19910206 |
| EP 514442 | B1 | 19940427 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| HU 61575 | A2 | 19930128 | HU 1992-2585 | 19910206 |
| JP 05506643 | T2 | 19930930 | JP 1991-504069 | 19910206 |
| AT 104989 | E | 19940515 | AT 1991-903956 | 19910206 |
| ES 2052372 | T3 | 19940701 | ES 1991-903956 | 19910206 |
| ZA 9100946 | A | 19911127 | ZA 1991-946 | 19910208 |
| US 5382590 | A | 19950117 | US 1992-867690 | 19920708 |
| NO 9203079 | A | 19920805 | NO 1992-3079 | 19920805 |

PRIORITY APPLN. INFO.:

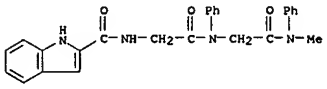
| | | |
|---|---|---|
| FR 1990-1553 | A | 19900209 |
| FR 1990-11916 | A | 19900927 |
| FR 1990-12594 | A | 19901012 |
| EP 1991-903956 | A | 19910206 |
| WO 1991-FR87 | A | 19910206 |

OTHER SOURCE(S): MARPAT 116:214907
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; R1 = H, alkyl, alkoxycarbonyl, (substituted) phenyl; R2 = H, (substituted) alkyl; R3 = alkyl, phenylalkyl, indanyl, cycloalkylalkyl, (substituted) Ph, quinolinyl; or R2R3N = heterocyclyl; R4 = (substituted) Ph, (substituted) phenylamino, etc.], having affinity for the cholecystokinin and the gastrin receptors and thus useful as their inhibitors, are prepared Hydrazinolysis of PhNHCOCH2NPhCOCH2Q [Q = phthalimido] (preparation given) gave PhNHCOCH2NPhCOCH2NH2, which in THF was

L3 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

reacted with 3-MeC6H4NCO at ca. 25° for 12 h to give title compd. I [R1 = R2 = H, R3 = Ph, R4 = 3-MeC6H4NH]. The IC50 values of I against CCK were generally ≤1000 nM. Some pharmaceutical dosage forms contg. I were formulated.

IT 138561-81-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antagonist of CCK and gastrin)
RN 138561-81-6 CAPLUS
CN Glycinamide, N-(1H-indol-2-ylcarbonyl)glycyl-N-methyl-N,N2-diphenyl- (9CI)
(CA INDEX NAME)

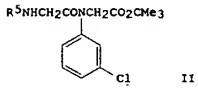


L3 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:106815 CAPLUS
DOCUMENT NUMBER: 116:106815
TITLE: Preparation of derivatives of N-phenylglycinamide as CCK and gastrin antagonists.
INVENTOR(S): Bourzat, Jean Dominique; Capet, Marc; Cotrel, Claude; Guyon, Claude; Manfre, Franco; Roussel, Gerard
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer SA, Fr.
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9113907 | A1 | 19910919 | WO 1991-FR174 | 19910305 |
| W: AU, CA, HU, JP, KR, NO, SU, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE | | | | |
| FR 2659334 | A1 | 19910913 | FR 1990-2889 | 19900307 |
| FR 2659334 | B1 | 19920515 | | |
| FR 2667864 | A2 | 19920417 | FR 1990-12727 | 19901016 |
| FR 2667864 | B2 | 19940805 | | |
| AU 9174920 | A1 | 19911010 | AU 1991-74920 | 19910305 |
| AU 635832 | B2 | 19930401 | | |
| EP 518960 | A1 | 19921223 | EP 1991-905832 | 19910305 |
| EP 518960 | B1 | 19940914 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| HU 61576 | A2 | 19930128 | HU 1992-2865 | 19910305 |
| JP 05504967 | T2 | 19930729 | JP 1991-505781 | 19910305 |
| ES 2059128 | T3 | 19941101 | ES 1991-905832 | 19910305 |
| RU 2076108 | C1 | 19970327 | RU 1991-5053153 | 19910305 |
| ZA 9101637 | A | 19911224 | ZA 1991-1637 | 19910306 |
| IL 97476 | A1 | 19960723 | IL 1991-97476 | 19910307 |
| NO 9203456 | A | 19920904 | NO 1992-3456 | 19920904 |
| US 5475106 | A | 19951212 | US 1992-924065 | 19921008 |

PRIORITY APPLN. INFO.:
FR 1990-2889 A 19900307
FR 1990-12727 A 19901016
WO 1991-FR174 A 19910305

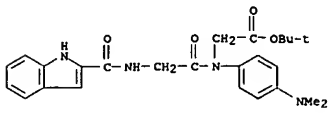
OTHER SOURCE(S): MARPAT 116:106815
GI



II

L3 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB R2COCHR1NR4COCH2NHCOR3 (I; R1 = H, alkyl, alkoxycarboyl, (substituted) phenyl; R2 = alkoxy, (substituted) cycloalkoxy, cycloalkylalkoxy, phenylalkoxy, polyfluoroalkoxy, cinnamyloxy, (substituted) amino; R3 = (substituted) phenylamino, etc.; R4 = Ph substituted by a halogen, alkyl, alkoxy, etc.], useful as antagonists against CCK and gastrin (no data), are prepared N-(Chlorophenyl)acetamide II (R5 = H) (preparation given) in THF was reacted with m-MeC6H4NCO at 20° to give II (R5 = m-MeC6H4NHCO]. Tablets, injections, etc., containing I were formulated.
IT 139088-22-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as CCK and gastrin antagonist)
RN 139088-22-5 CAPLUS
CN Glycine, N-[4-(dimethylamino)phenyl]-N-[N-(1H-indol-2-ylcarbonyl)glycyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

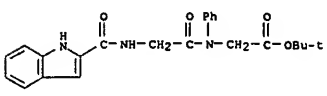



L3 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:164819 CAPLUS
DOCUMENT NUMBER: 114:164819
TITLE: Preparation and formulation of ureidoalkanamides, peptides, and analogs as cholecystokinin receptor antagonists
INVENTOR(S): Bourzat, Jean Dominique; Capet, Marc; Cotrel, Claude; Guyon, Claude; Manfre, Franco; Roussel, Gerard
PATENT ASSIGNEE(S): Rhone-Poulenc Sante, Fr.
SOURCE: Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 397556 | A1 | 19901114 | EP 1990-401218 | 19900509 |
| EP 397556 | B1 | 19931020 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| FR 2646847 | A1 | 19901116 | FR 1989-6250 | 19890512 |
| FR 2646847 | B1 | 19910712 | | |
| AT 96146 | E | 19931115 | AT 1990-401218 | 19900509 |
| ES 2060097 | T3 | 19941116 | ES 1990-401218 | 19900509 |
| CA 2016439 | AA | 19901112 | CA 1990-2016439 | 19900510 |
| JP 03056453 | A2 | 19910312 | JP 1990-120182 | 19900511 |
| US 5223529 | A | 19930629 | US 1990-522137 | 19900511 |

PRIORITY APPLN. INFO.:
FR 1989-6250 A 19890512
EP 1990-401218 A 19900509

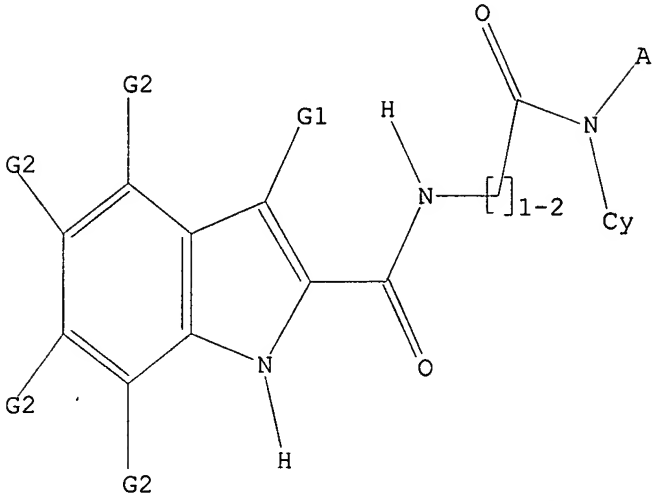
OTHER SOURCE(S): CASREACT 114:164819; MARPAT 114:164819
AB R3CONH2CONR1Ph [I; R1 = CHR8CO2R4, CH2CONR5R6, phenylalkyl, (un)substituted Ph; R3 = 1- or 2-naphthyl, 2- or 3-indolyl, (un)substituted PhNH; R4 = H, (cyclo)alkyl, Ph, phenylalkyl, etc.; R5, R6 = alkyl; NR5R6 = (alkyl)pyrrolidino; R8 = H, alkyl, Ph; Z = CH2, CH2CH2, CHR7; R7 = alkyl, Ph, PhCH2, etc.] were prepared Thus, PhNH2 was condensed with BrCH2CO2CMe3 and the product condensed with ClCH2COCl to give ClCH2CONPhCO2CMe3 which was condensed with K phthalimide and the product hydrozinolized to give H2NCH2CONPhCH2CO2CMe3. The latter was condensed with 3-MeC6H4NCO to give 3-MeC6H4NHCONHCH2CONPhCH2CO2CMe3. I have IC50 ≤ 103 nM for cholecystokinin receptor binding.
IT 133115-11-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cholecystokinin receptor antagonist)
RN 133115-11-4 CAPLUS
CN Glycine, N-[N-(1H-indol-2-ylcarbonyl)glycyl]-N-phenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)




L3 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR




G1 H,Me
G2 H,X,Me ← Methyl also

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
FULL SEARCH INITIATED 12:49:56 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 95559 TO ITERATE

100.0% PROCESSED 95559 ITERATIONS
SEARCH TIME: 00.00.07

141 ANSWERS

L2 141 SEA SSS FUL L1

Same # of Hits

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 166.94 | 167.15 |